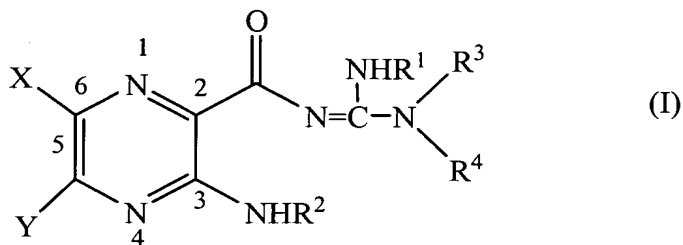


IN THE CLAIMS

The status of each claim in the application is provided below:

1. (Twice Amended) A compound represented by formula (I):



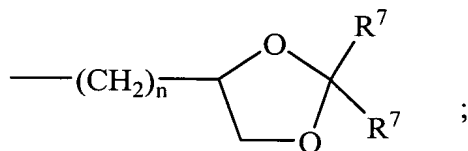
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

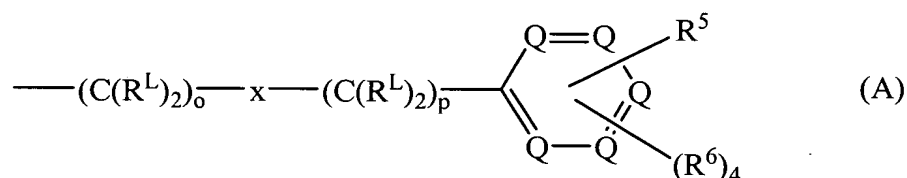
Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or -N(R<sup>2</sup>)<sub>2</sub>;

R<sup>1</sup> is hydrogen or lower alkyl;

each R<sup>2</sup> is, independently, -R<sup>7</sup>, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-Z<sub>g</sub>-R<sup>7</sup>, -(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, or

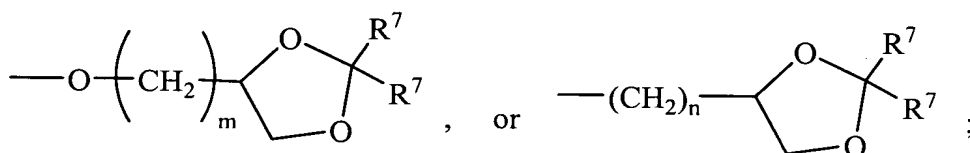


$R^3$  and  $R^4$  are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or pyridyl-lower alkyl, with the proviso that at least one of  $R^3$  and  $R^4$  is a group represented by formula (A):



wherein

each  $R^{\text{L}}$  is, independently,  $-\text{R}^7$ ,  $-(\text{CH}_2)_n\text{---OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{---OR}^8$ ,  $-(\text{CH}_2)_n\text{---NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{---NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{---R}^8$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m\text{---R}^8$ ,  $-(\text{CH}_2\text{CH}_2\text{O})_m\text{---CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2\text{CH}_2\text{O})_m\text{---CH}_2\text{CH}_2\text{NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n\text{---C(=O)NR}^7\text{R}^{10}$ ,  $-\text{O}-(\text{CH}_2)_m\text{---C(=O)NR}^7\text{R}^{10}$ ,  $-(\text{CH}_2)_n\text{---(Z)}_g\text{---R}^7$ ,  $-\text{O}-(\text{CH}_2)_m\text{---(Z)}_g\text{---R}^7$ ,  $-(\text{CH}_2)_n\text{---NR}^{10}\text{---CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-\text{O}-(\text{CH}_2)_m\text{---NR}^{10}\text{---CH}_2(\text{CHOR}^8)(\text{CHOR}^8)_n\text{---CH}_2\text{OR}^8$ ,  $-(\text{CH}_2)_n\text{---CO}_2\text{R}^7$ ,  $-\text{O}-(\text{CH}_2)_m\text{---CO}_2\text{R}^7$ ,  $-\text{OSO}_3\text{H}$ ,  $-\text{O-glucuronide}$ ,  $-\text{O-glucose}$ ,



Application No. 10/076,571  
Reply to Office Action of September 8, 2003

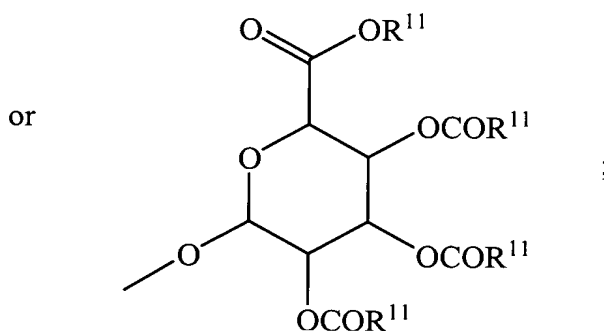
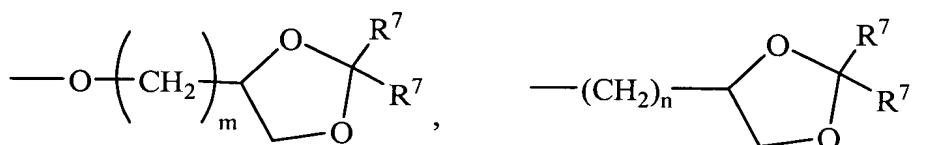
each o is, independently, an integer from 0 to 10;

each p is an integer from 0 to 10;

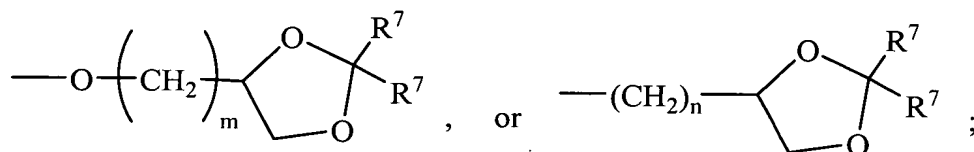
with the proviso that the sum of o and p in each contiguous chain is from 1 to 10;

each x is, independently, O, NR<sup>10</sup>, C(=O), CHOH, C(=N-R<sup>10</sup>), CHNR<sup>7</sup>R<sup>10</sup>, or represents a single bond;

each R<sup>5</sup> is, independently, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,



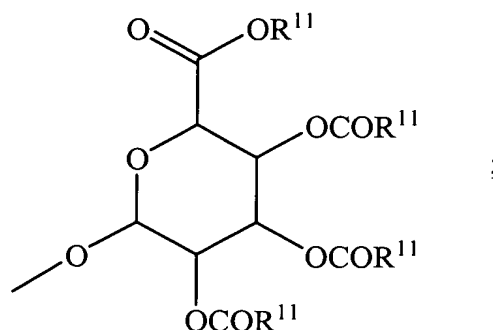
each  $R^6$  is, independently,  $-R^7$ ,  $-OR^{11}$ ,  $-N(R^7)_2$ ,  $-(CH_2)_m-OR^8$ ,  
 $-O-(CH_2)_m-OR^8$ ,  $-(CH_2)_n-NR^7R^{10}$ ,  $-O-(CH_2)_m-NR^7R^{10}$ ,  
 $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-(CH_2CH_2O)_m-R^8$ ,  $-O-(CH_2CH_2O)_m-R^8$ ,  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  
 $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  
 $-O-(CH_2)_m-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-(Z)_g-R^7$ ,  $-O-(CH_2)_m-(Z)_g-R^7$ ,  
 $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-(CH_2)_n-CO_2R^7$ ,  $-O-(CH_2)_m-CO_2R^7$ ,  $-OSO_3H$ ,  $-O$ -glucuronide,  $-O$ -glucose,



wherein when two  $R^6$  are  $-OR^{11}$  and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two  $R^6$  may be bonded together to form a methylenedioxy group;

each  $R^7$  is, independently, hydrogen or lower alkyl;

each  $R^8$  is, independently, hydrogen, lower alkyl,  $-C(=O)-R^{11}$ , glucuronide, 2-tetrahydropyranyl, or



each  $R^9$  is, independently,  $-\text{CO}_2R^7$ ,  $-\text{CON}(R^7)_2$ ,  $-\text{SO}_2\text{CH}_3$ , or  $-\text{C}(=\text{O})R^7$ ;

each  $R^{10}$  is, independently,  $-\text{H}$ ,  $-\text{SO}_2\text{CH}_3$ ,  $-\text{CO}_2R^7$ ,  $-\text{C}(=\text{O})\text{NR}^7R^9$ ,

$-\text{C}(=\text{O})R^7$ , or  $-\text{CH}_2-(\text{CHOH})_n-\text{CH}_2\text{OH}$ ;

each  $Z$  is, independently,  $\text{CHOH}$ ,  $\text{C}(=\text{O})$ ,  $\text{CHNR}^7R^{10}$ ,  $\text{C}=\text{NR}^{10}$ , or  $\text{NR}^{10}$ ;

each  $R^{11}$  is, independently, lower alkyl;

each  $g$  is, independently, an integer from 1 to 6;

each  $m$  is, independently, an integer from 1 to 7;

each  $n$  is, independently, an integer from 0 to 7;

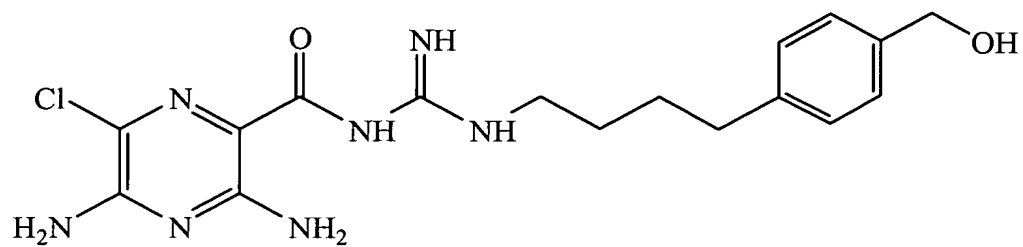
each  $Q$  is, independently,  $\text{C}-R^5$  or  $\text{C}-R^6$ , wherein one  $Q$  is  $\text{C}-R^5$ ;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

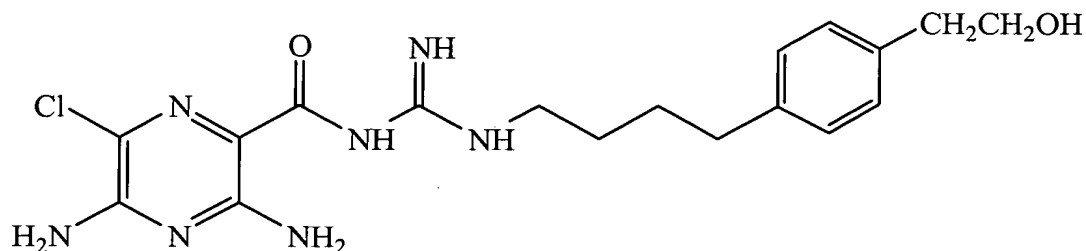
2. (Previously Presented) The compound of Claim 1, wherein  $Y$  is  $-\text{NH}_2$ .
3. (Previously Presented) The compound of Claim 2, wherein  $R^2$  is hydrogen.
4. (Previously Presented) The compound of Claim 3, wherein  $R^1$  is hydrogen.
5. (Previously Presented) The compound of Claim 4, wherein  $X$  is chlorine.

6. (Previously Presented) The compound of Claim 5, wherein  $R^3$  is hydrogen.
7. (Previously Presented) The compound of Claim 6, wherein each  $R^L$  is hydrogen.
8. (Previously Presented) The compound of Claim 7, wherein  $o$  is 4.
9. (Previously Presented) The compound of Claim 8, wherein  $p$  is 0.
10. (Previously Presented) The compound of Claim 9, wherein  $x$  represents a single bond.
11. (Previously Presented) The compound of Claim 10, wherein each  $R^6$  is hydrogen.
12. Canceled.
13. Canceled.
14. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-(CH_2)_m-OR^8$ .
15. (Previously Presented) The compound of Claim 14, which is represented by the formula:



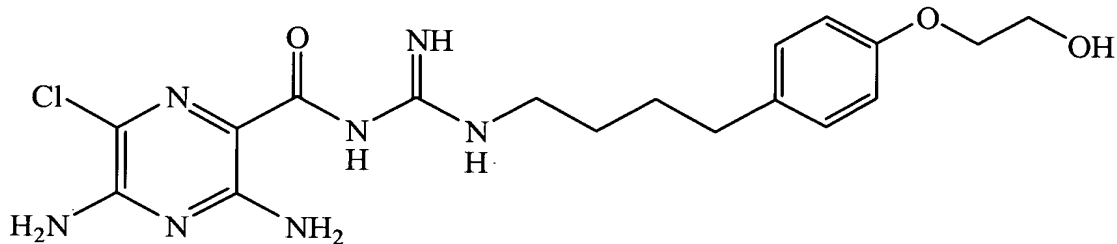


16. (Previously Presented) The compound of Claim 14, which is represented by the formula:

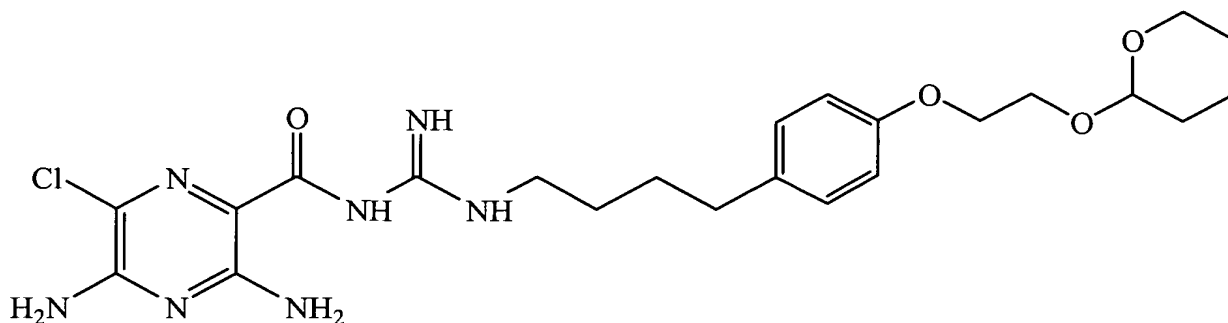


17. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-(CH_2)_m-$  OR<sup>8</sup>.

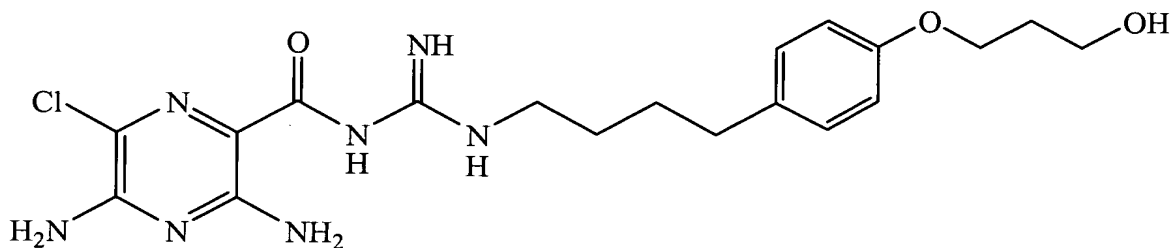
18. (Previously Presented) The compound of Claim 17, which is represented by the formula:



19. (Previously Presented) The compound of Claim 17, which is represented by the formula:

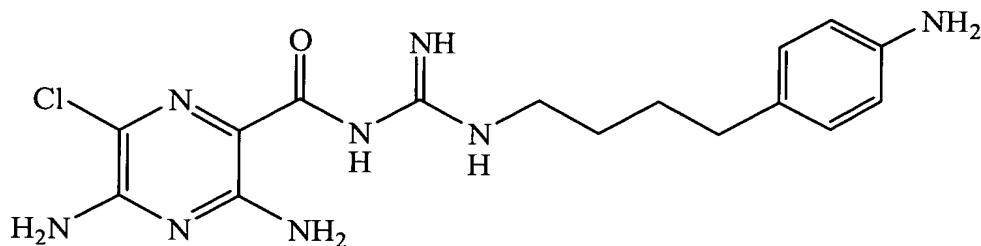


20. (Previously Presented) The compound of Claim 17, which is represented by the formula:



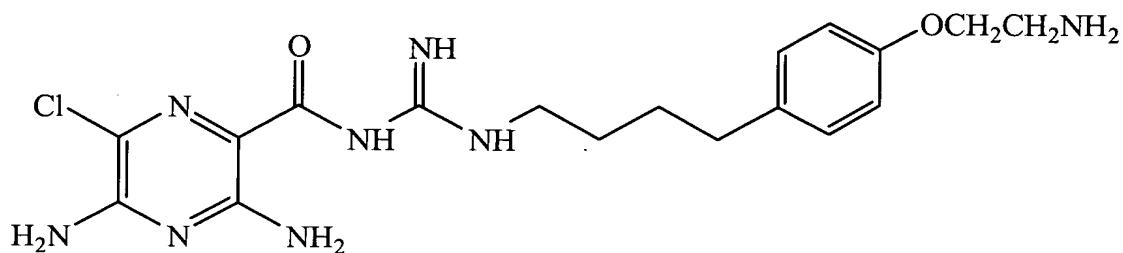
21. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>.

22. (Previously Presented) The compound of Claim 21, which is represented by the formula:

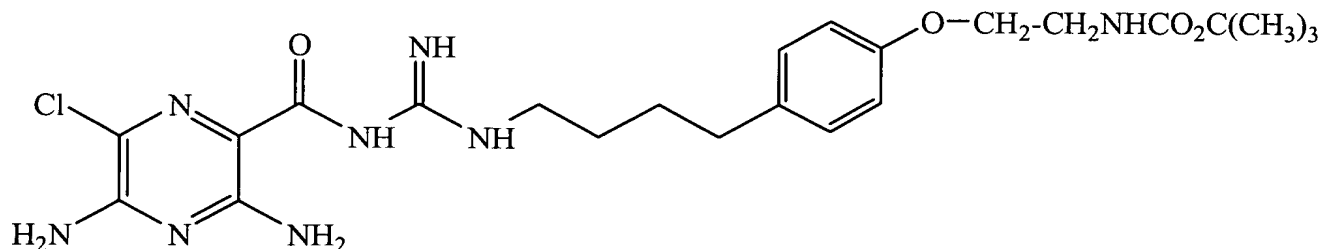


23. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-(CH_2)_m-$   
 $NR^7R^{10}$ .

24. (Previously Presented) The compound of Claim 23, which is represented by the  
formula:



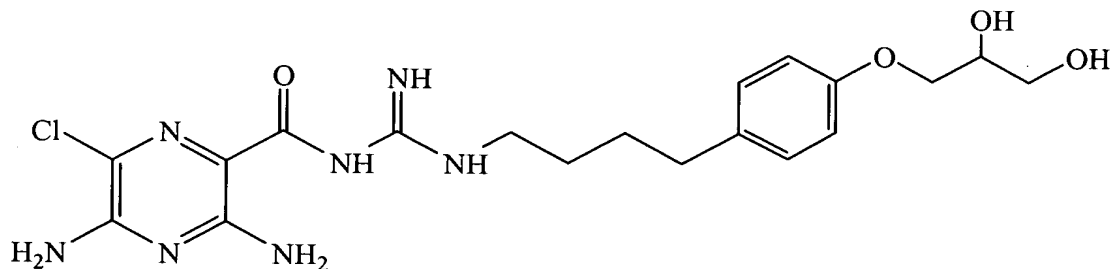
25. (Previously Presented) The compound of Claim 23, which is represented by the formula:



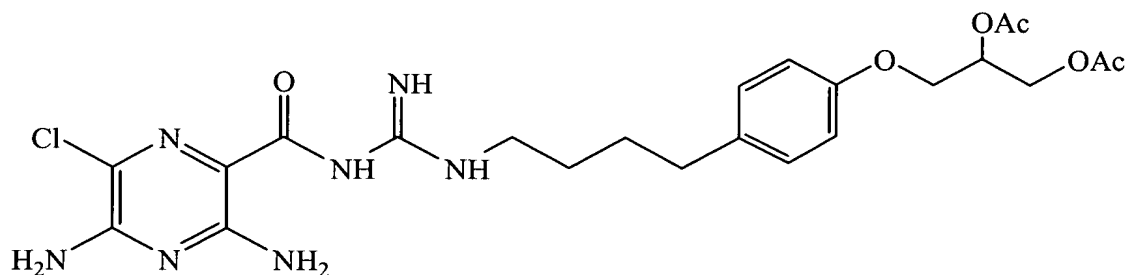
26. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

27. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>.

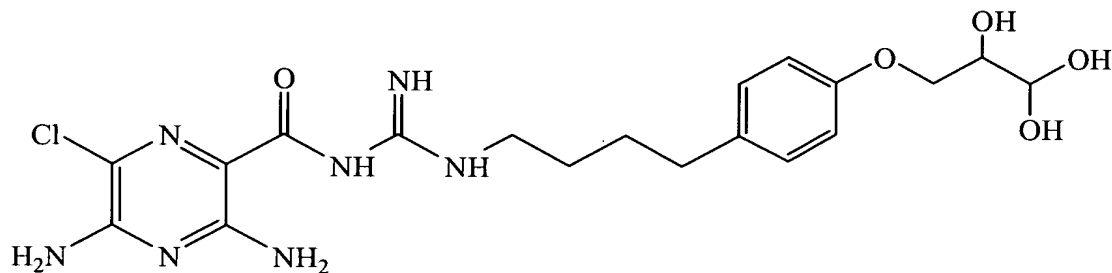
28. (Previously Presented) The compound of Claim 27, which is represented by the formula:



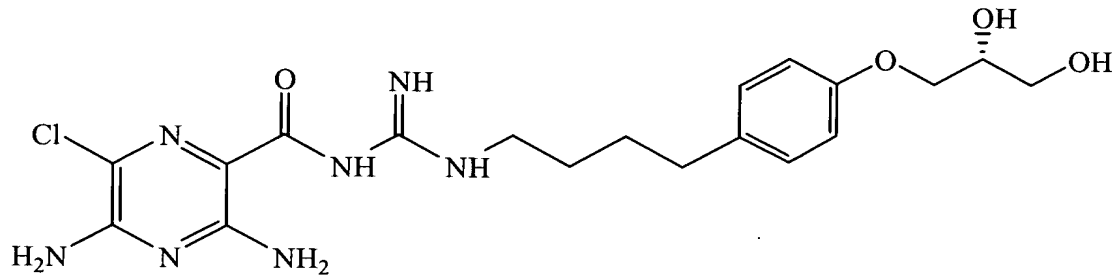
29. (Previously Presented) The compound of Claim 27, which is represented by the formula:



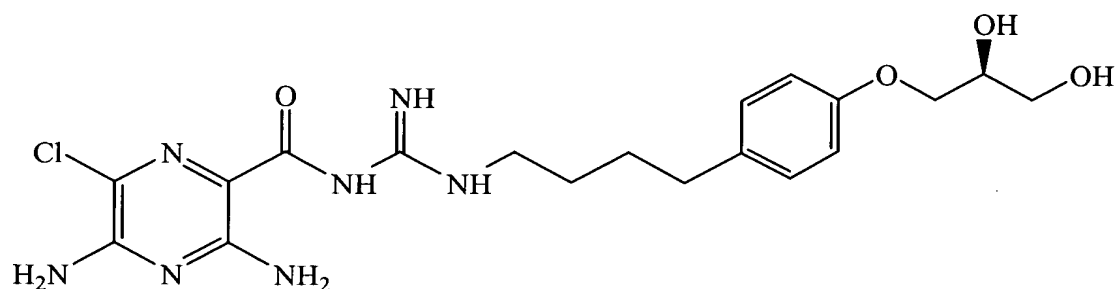
30. (Previously Presented) The compound of Claim 27, which is represented by the formula:



31. (Previously Presented) The compound of Claim 27, which is represented by the formula:



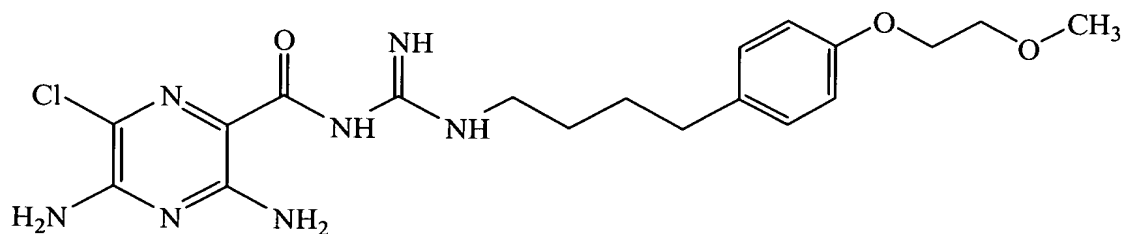
32. (Previously Presented) The compound of Claim 27, which is represented by the formula:



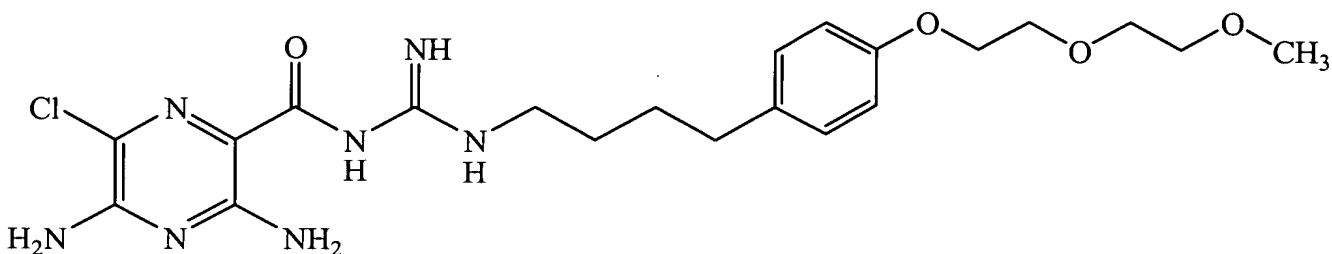
33. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-(CH_2CH_2O)_m-$   
 $R^8$ .

34. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-$   
 $(CH_2CH_2O)_m-R^8$ .

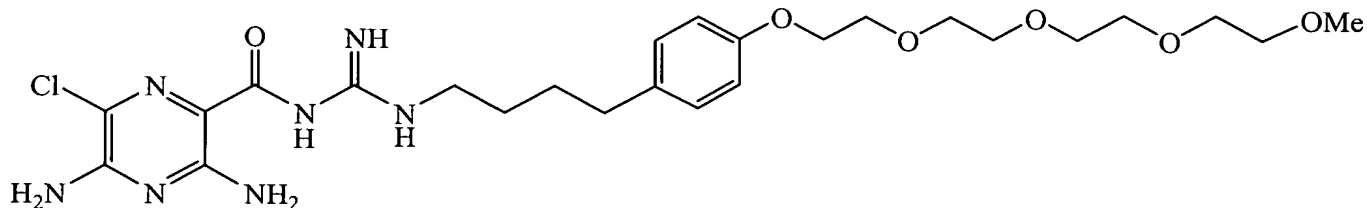
35. (Previously Presented) The compound of Claim 34, which is represented by the formula:



36. (Previously Presented) The compound of Claim 34, which is represented by the formula:



37. (Previously Presented) The compound of Claim 34, which is represented by the formula:



38. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

39. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>.

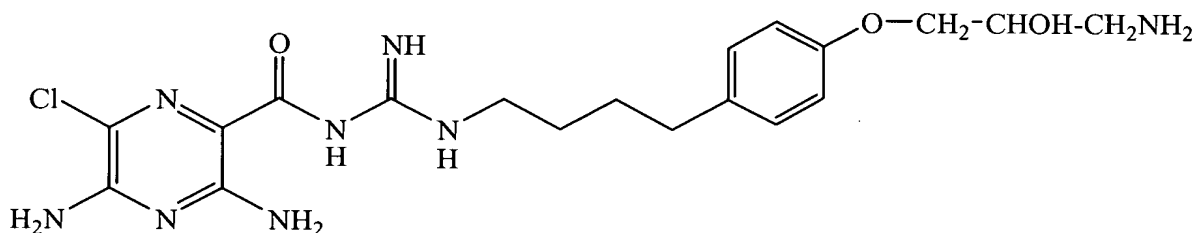
40. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

41. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>.

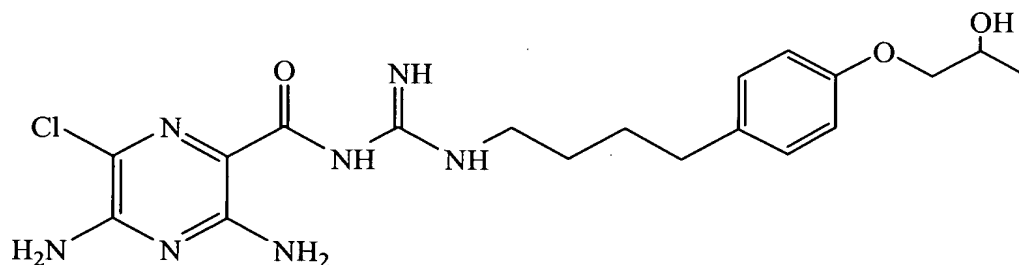
42. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-(CH_2)_n-(Z)_g-R^7$ .

43. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-(CH_2)_m-(Z)_g-R^7$ .

44. (Previously Presented) The compound of Claim 43, which is represented by the formula:



45. (Previously Presented) The compound of Claim 43, which is represented by the formula:



46. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .



47. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-(CH_2)_m-$   
 $NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

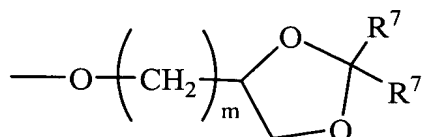
48. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-(CH_2)_m-$   
 $CO_2R^7$ .

49. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-OSO_3H$ .

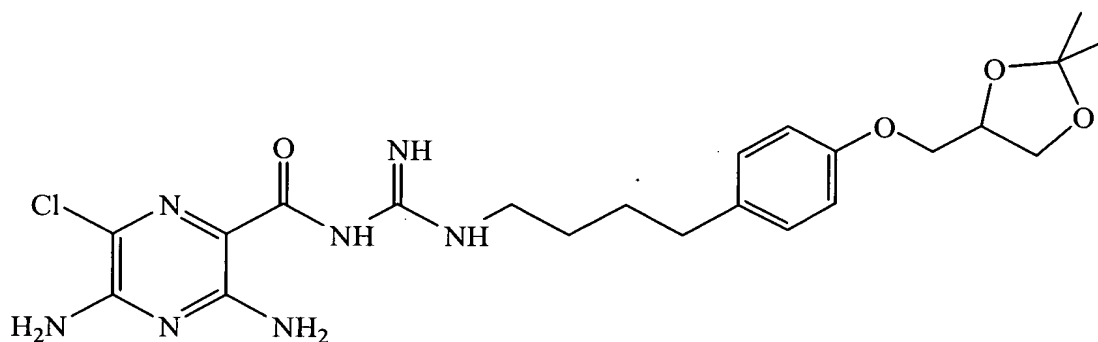
50. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O-$   
glucuronide.

51. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is  $-O$ -glucose.

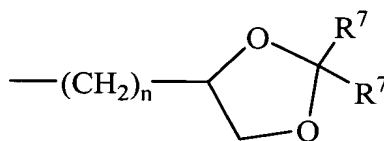
52. (Previously Amended) The compound of Claim 11, wherein  $R^5$  is



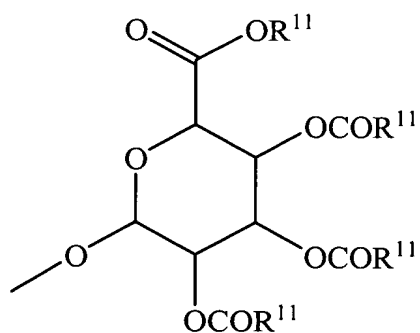
53. (Previously Presented) The compound of Claim 52, which is represented by the formula:



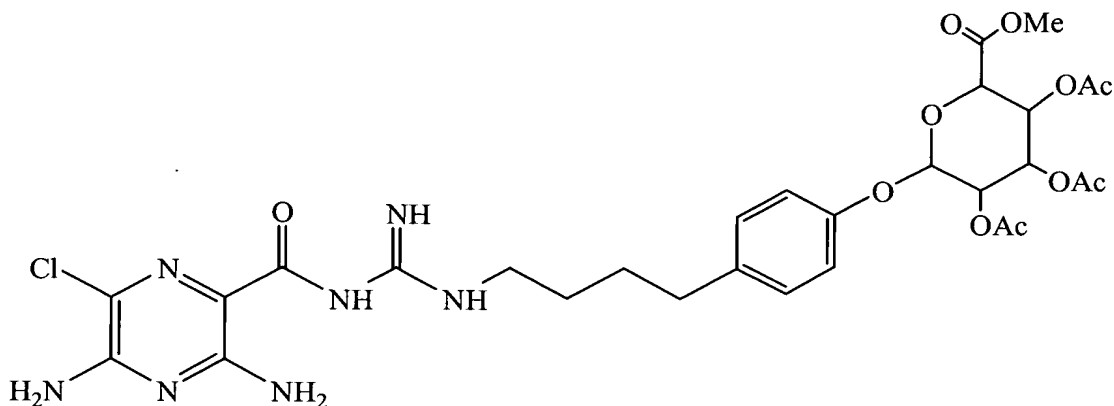
54. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is



55. (Previously Amended) The compound of Claim 11, wherein R<sup>5</sup> is



56. (Previously Presented) The compound of Claim 55, which is represented by the formula:



57. (Previously Amended) The compound of Claim 1, wherein

X is halogen;

Y is -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

R<sup>2</sup> is -R<sup>7</sup>, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, or -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>;

R<sup>3</sup> is a group represented by formula (A); and

R<sup>4</sup> is hydrogen, a group represented by formula (A), or lower alkyl.

58. (Previously Amended) The compound of Claim 57, wherein

X is chloro or bromo;

Y is -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>2</sup> is hydrogen or C<sub>1</sub>-C<sub>3</sub> alkyl;

at most three R<sup>6</sup> are other than hydrogen as defined above; and

at most three R<sup>L</sup> are other than hydrogen as defined above.

59. (Previously Presented) The compound of Claim 58, wherein Y is  $\text{-NH}_2$ .
60. (Previously Amended) The compound of Claim 59, wherein  $\text{R}^4$  is hydrogen;  
at most one  $\text{R}^L$  is other than hydrogen as defined above; and  
at most two  $\text{R}^6$  are other than hydrogen as defined above.
61. (Previously Presented) The compound of Claim 1, wherein  $\text{R}^5$  is  $\text{-(CH}_2)_m\text{-OR}^8$ .
62. (Previously Presented) The compound of Claim 1, wherein  $\text{R}^5$  is  $\text{-O-(CH}_2)_m\text{-OR}^8$ .
63. (Previously Presented) The compound of Claim 1, wherein  $\text{R}^5$  is  $\text{-(CH}_2)_n\text{-NR}^7\text{R}^{10}$ .
64. (Previously Presented) The compound of Claim 1, wherein  $\text{R}^5$  is  $\text{-O-(CH}_2)_m\text{-NR}^7\text{R}^{10}$ .
65. (Previously Presented) The compound of Claim 1, wherein  $\text{R}^5$  is  $\text{-(CH}_2)_n(\text{CHOR}^8)(\text{CHOR}^8)_n\text{-CH}_2\text{OR}^8$ .
66. (Previously Presented) The compound of Claim 1, wherein  $\text{R}^5$  is  $\text{-O-(CH}_2)_m(\text{CHOR}^8)(\text{CHOR}^8)_n\text{-CH}_2\text{OR}^8$ .

67. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-(CH_2CH_2O)_m-$   
 $R^8$ .

68. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O-$   
 $(CH_2CH_2O)_m-R^8$ .

69. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-(CH_2CH_2O)_m-$   
 $CH_2CH_2NR^7R^{10}$ .

70. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O-$   
 $(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ .

71. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-$   
 $C(=O)NR^7R^{10}$ .

72. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-$   
 $C(=O)NR^7R^{10}$ .

73. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-(Z)_g-R^7$ .

74. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-(Z)_g-$   
 $R^7$ .

75. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-(CH_2)_n-NR^{10}-$   
 $CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

76. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-$   
 $NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ .

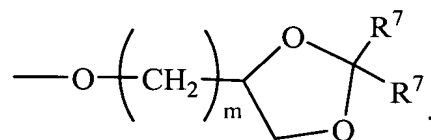
77. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O-(CH_2)_m-$   
 $CO_2R^7$ .

78. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-OSO_3H$ .

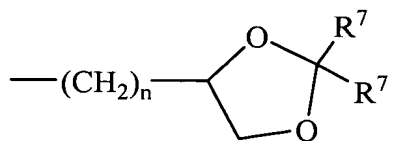
79. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O$ -glucuronide.

80. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is  $-O$ -glucose.

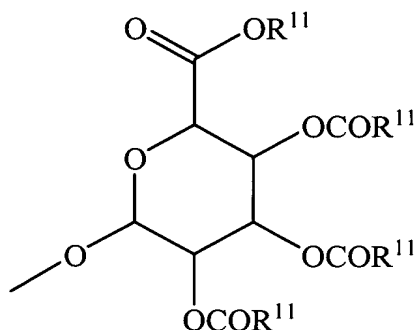
81. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is



82. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is



83. (Previously Presented) The compound of Claim 1, wherein  $R^5$  is



84. (Previously Presented) The compound of Claim 1, wherein x is a single bond.
85. (Previously Presented) The compound of Claim 1, which is in the form of a pharmaceutically acceptable salt.
86. (Previously Presented) A pharmaceutical composition, comprising the compound of Claim 1 and a pharmaceutically acceptable carrier.
87. (Cancelled).
88. (Cancelled).
89. (Previously Presented) A method of blocking sodium channels, comprising:  
contacting sodium channels with an effective amount of the compound of Claim 1.
90. (Cancelled).
91. (Cancelled).

92. (Cancelled).

93. (Cancelled).

94. (Cancelled).

95. (Cancelled).

96. (Cancelled).

97. (Cancelled).

98. (Cancelled).

99. (Cancelled).

100. (Cancelled).

101. (Cancelled).

102. (Cancelled).

103. (Cancelled).



104. (Cancelled).

105. (Cancelled).

106. (Cancelled).

107. (Cancelled).

108. (Cancelled).

109. (Cancelled).

110. (Cancelled).

111. (Cancelled).

112. (Cancelled).

113. (Cancelled).

114. (Cancelled).

115. (Cancelled).

116. (Cancelled).

117. (Previously Presented) A composition, comprising:

the compound of Claim 1; and

a P2Y2 inhibitor.

118. (Previously Presented) A composition, comprising:

the compound of Claim 1; and

a bronchodilator.

119. (Currently Amended) The compound of Claim 1, wherein  $R^5$  is selected from the group consisting of

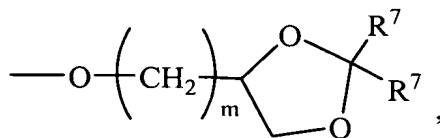
-O-(CH<sub>2</sub>)<sub>3</sub>-OH, -NH<sub>2</sub>, -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH,

-O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran-2-yl, -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide,

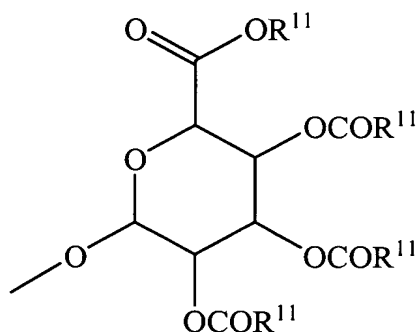
-O-CH<sub>2</sub>CH<sub>2</sub>OH, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>,

-O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>,

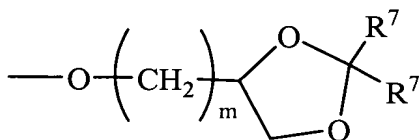
-OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, -CH<sub>2</sub>OH, -CO<sub>2</sub>CH<sub>3</sub>;



and

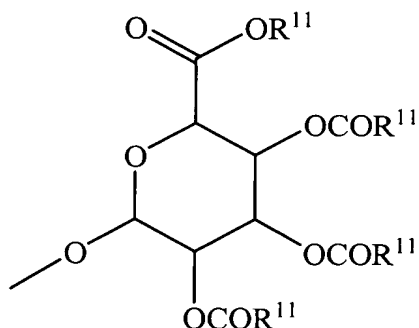


120. (Currently Amended) The compound of Claim 1, wherein  $R^5$  is selected from the group consisting of para -O-(CH<sub>2</sub>)<sub>3</sub>-OH, para -NH<sub>2</sub>, para -O-CH<sub>2</sub>-(CHOH)<sub>2</sub>-CH<sub>2</sub>OH, ortho -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, meta -O-CH<sub>2</sub>-CHOH-CH<sub>2</sub>OH, para -O-CH<sub>2</sub>CH<sub>2</sub>-O-tetrahydropyran- 2-yl, para -O-CH<sub>2</sub>CHOH-CH<sub>2</sub>-O-glucuronide, para -O-CH<sub>2</sub>CH<sub>2</sub>OH, para -O- (CH<sub>2</sub>CH<sub>2</sub>O)<sub>4</sub>-CH<sub>3</sub>, para -O-CH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, para -O-CH<sub>2</sub>-(CHOC(=O)CH<sub>3</sub>)-CH<sub>2</sub>-OC(=O)CH<sub>3</sub>, para -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>-CH<sub>3</sub>, -OCH<sub>2</sub>-CHOH-CHOH-CH<sub>2</sub>OH, para - CH<sub>2</sub>OH, ~~para -CO<sub>2</sub>CH<sub>3</sub>~~, para -SO<sub>3</sub>H, para -O-glucuronide, para



and

para



121. (Previously Amended) The compound of Claim 119, wherein

X is chloro or bromo;

Y is  $-N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

at most three  $R^6$  are other than hydrogen as defined above; and

at most three  $R^L$  are other than hydrogen as defined above.

122. (Previously Amended) The compound of Claim 121, wherein

$R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above; and

at most two  $R^6$  are other than hydrogen as defined above.

123. (Previously Amended) The compound of Claim 120, wherein

X is chloro or bromo;

Y is  $-N(R^7)_2$ ;

$R^1$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^2$  is hydrogen or  $C_1$ - $C_3$  alkyl;

$R^3$  is a group represented by formula (A); and

$R^4$  is hydrogen, a group represented by formula (A), or lower alkyl;

at most three  $R^6$  are other than hydrogen as defined above; and

at most three  $R^L$  are other than hydrogen as defined above.

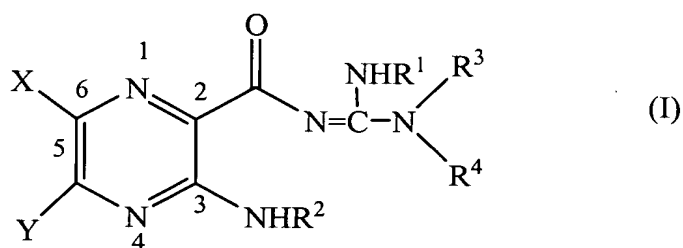
124. (Previously Amended) The compound of Claim 123, wherein

$R^4$  is hydrogen;

at most one  $R^L$  is other than hydrogen as defined above; and

at most two  $R^6$  are other than hydrogen as defined above.

125. (New) A compound represented by formula (I):



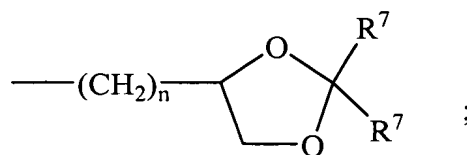
wherein

X is hydrogen, halogen, trifluoromethyl, lower alkyl, unsubstituted or substituted phenyl, lower alkyl-thio, phenyl-lower alkyl-thio, lower alkyl-sulfonyl, or phenyl-lower alkyl-sulfonyl;

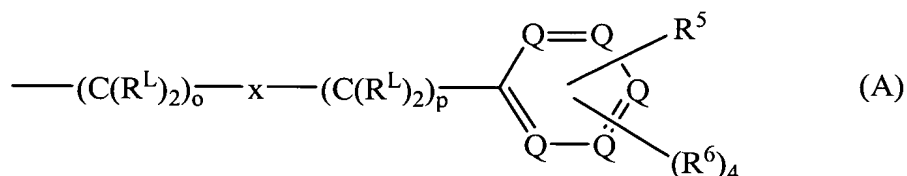
Y is hydrogen, hydroxyl, mercapto, lower alkoxy, lower alkyl-thio, halogen, lower alkyl, unsubstituted or substituted mononuclear aryl, or  $-N(R^2)_2$ ;

$R^1$  is hydrogen or lower alkyl;

each  $R^2$  is, independently,  $-R^7$ ,  $-(CH_2)_m-OR^8$ ,  $-(CH_2)_m-NR^7R^{10}$ ,  $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2CH_2O)_m-R^8$ ,  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-Z_g-R^7$ ,  $-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2)_n-CO_2R^7$ , or

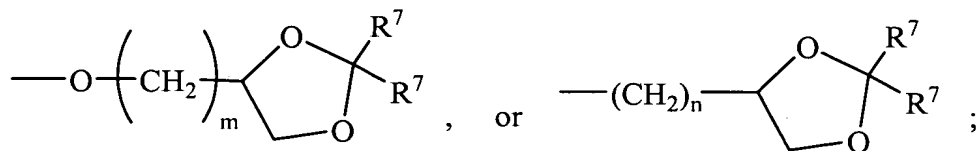


$R^3$  and  $R^4$  are each, independently, hydrogen, a group represented by formula (A), lower alkyl, hydroxy lower alkyl, phenyl, phenyl-lower alkyl, (halophenyl)-lower alkyl, lower-(alkylphenylalkyl), lower (alkoxyphenyl)-lower alkyl, naphthyl-lower alkyl, or pyridyl-lower alkyl, with the proviso that at least one of  $R^3$  and  $R^4$  is a group represented by formula (A):



wherein

each  $R^L$  is, independently,  $-R^7$ ,  $-(CH_2)_n-OR^8$ ,  $-O-(CH_2)_m-OR^8$ ,  $-(CH_2)_n-NR^7R^{10}$ ,  $-O-(CH_2)_m-NR^7R^{10}$ ,  $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2CH_2O)_m-R^8$ ,  $-O-(CH_2CH_2O)_m-R^8$ ,  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  $-O-(CH_2)_m-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-(Z)_g-R^7$ ,  $-O-(CH_2)_m-(Z)_g-R^7$ ,  $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-(CH_2)_n-CO_2R^7$ ,  $-O-(CH_2)_m-CO_2R^7$ ,  $-OSO_3H$ ,  $-O$ -glucuronide,  $-O$ -glucose,



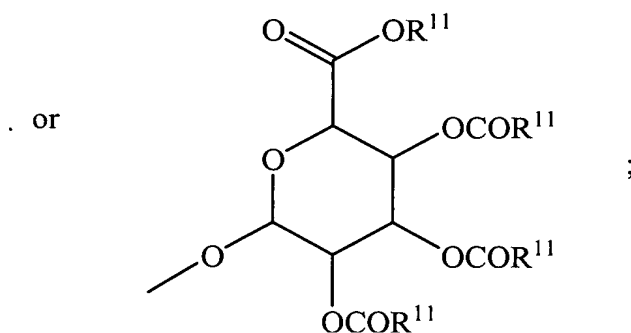
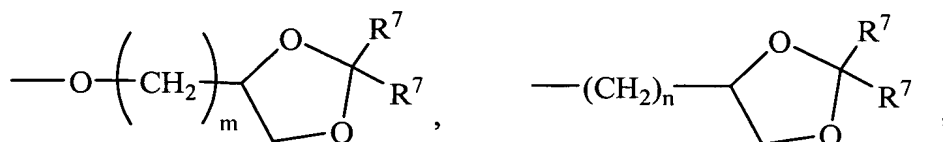
each o is, independently, an integer from 4 to 10;

each p is an integer from 0 to 10;

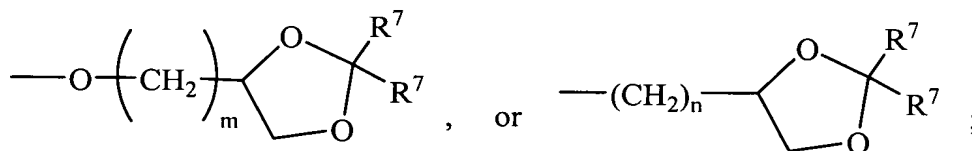
with the proviso that the sum of o and p in each contiguous chain is from 4 to 10;

each x is, independently, O, NR<sup>10</sup>, C(=O), CHOH, C(=N-R<sup>10</sup>), CHNR<sup>7</sup>R<sup>10</sup>, or represents a single bond;

each R<sup>5</sup> is, independently, -(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>7</sup>R<sup>10</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-R<sup>8</sup>, -(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>CH<sub>2</sub>O)<sub>m</sub>-CH<sub>2</sub>CH<sub>2</sub>NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-C(=O)NR<sup>7</sup>R<sup>10</sup>, -(CH<sub>2</sub>)<sub>n</sub>-(Z)<sub>g</sub>-R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-(Z)<sub>g</sub>-R<sup>7</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -O-(CH<sub>2</sub>)<sub>m</sub>-NR<sup>10</sup>-CH<sub>2</sub>(CHOR<sup>8</sup>)(CHOR<sup>8</sup>)<sub>n</sub>-CH<sub>2</sub>OR<sup>8</sup>,  
 -(CH<sub>2</sub>)<sub>n</sub>-CO<sub>2</sub>R<sup>7</sup>, -O-(CH<sub>2</sub>)<sub>m</sub>-CO<sub>2</sub>R<sup>7</sup>, -OSO<sub>3</sub>H, -O-glucuronide, -O-glucose,



each  $R^6$  is, independently,  $-R^7$ ,  $-OR^{11}$ ,  $-N(R^7)_2$ ,  $-(CH_2)_m-OR^8$ ,  
 $-O-(CH_2)_m-OR^8$ ,  $-(CH_2)_n-NR^7R^{10}$ ,  $-O-(CH_2)_m-NR^7R^{10}$ ,  
 $-(CH_2)_n(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  $-O-(CH_2)_m(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-(CH_2CH_2O)_m-R^8$ ,  $-O-(CH_2CH_2O)_m-R^8$ ,  $-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  
 $-O-(CH_2CH_2O)_m-CH_2CH_2NR^7R^{10}$ ,  $-(CH_2)_n-C(=O)NR^7R^{10}$ ,  
 $-O-(CH_2)_m-C(=O)NR^7R^{10}$ ,  $-(CH_2)_n-(Z)_g-R^7$ ,  $-O-(CH_2)_m-(Z)_g-R^7$ ,  
 $-(CH_2)_n-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-O-(CH_2)_m-NR^{10}-CH_2(CHOR^8)(CHOR^8)_n-CH_2OR^8$ ,  
 $-(CH_2)_n-CO_2R^7$ ,  $-O-(CH_2)_m-CO_2R^7$ ,  $-OSO_3H$ ,  $-O$ -glucuronide,  $-O$ -glucose,

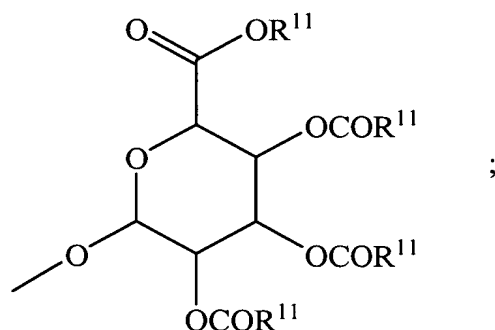




wherein when two  $R^6$  are  $-OR^{11}$  and are located adjacent to each other on a phenyl ring, the alkyl moieties of the two  $R^6$  may be bonded together to form a methylenedioxy group;

each  $R^7$  is, independently, hydrogen or lower alkyl;

each  $R^8$  is, independently, hydrogen, lower alkyl,  $-C(=O)-R^{11}$ , glucuronide, 2-tetrahydropyranyl, or



each  $R^9$  is, independently,  $-CO_2R^7$ ,  $-CON(R^7)_2$ ,  $-SO_2CH_3$ , or  $-C(=O)R^7$ ;

each  $R^{10}$  is, independently,  $-H$ ,  $-SO_2CH_3$ ,  $-CO_2R^7$ ,  $-C(=O)NR^7R^9$ ,  $-C(=O)R^7$ , or  $-CH_2-(CHOH)_n-CH_2OH$ ;

each  $Z$  is, independently,  $CHOH$ ,  $C(=O)$ ,  $CHNR^7R^{10}$ ,  $C=NR^{10}$ , or  $NR^{10}$ ;

each  $R^{11}$  is, independently, lower alkyl;

each  $g$  is, independently, an integer from 1 to 6;

each  $m$  is, independently, an integer from 1 to 7;

each  $n$  is, independently, an integer from 0 to 7;

each  $Q$  is, independently,  $C-R^5$  or  $C-R^6$ , wherein one  $Q$  is  $C-R^5$ ;

or a pharmaceutically acceptable salt thereof, and

inclusive of all enantiomers, diastereomers, and racemic mixtures thereof.

126. (New) A method of blocking sodium channels, comprising:

contacting sodium channels with an effective amount of the compound as defined in any one of Claims 2-11, 14-85, 119, 120-125.

127. (New) A method of blocking sodium channels, comprising:

contacting sodium channels with an effective amount of the composition as defined in any one of Claims 86, 117, and 118.